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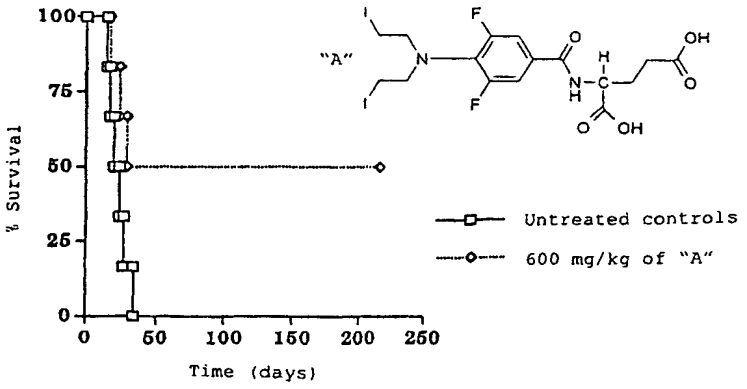
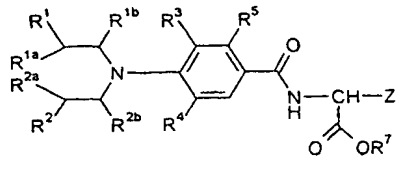
(54) Title: NITROGEN MUSTARD COMPOUNDS AND PRODRUGS THEREFOR

(57) Abstract

This invention pertains to nitrogen mustard compounds (Formula (II)) and prodrugs therefor (Formula (I)), methods for their preparation, pharmaceutical compositions comprising such compounds, and the use of such compounds and compositions, both in vitro and in vivo, in therapy and treatment, for example, of cancer, wherein: R^1 and R^2 are independently –Cl, –Br, –I, $-OSO_2CH_3$, or $-OSO_2Ph$; R^{1a}, R^{2a}, R^{1b}, and R^{2b} are independently –H, a C_{1-4}alkyl group, or a C_{1-4}haloalkyl group; R^3 is –F, –Cl, –Br, –I, $-OCHF_2$, $-C{\equiv}CH$, $-OCF_3$, $-CH_3$, $-CF_3$, $-SF_5$, $-SCF_3$, or $-CF_2CF_3$; R^4 is –H or as defined for R^3; R^5 is –H or –F; R^7 is –H, $-C(CH_3)_3$, or $-CH_2-CH{=}CH_2$; Z is $-CH_2-T-W$; T is $-CH_2-$, –O–, –S–, –(S=O)–, or $-(SO_2)-$; W is one of: (1) –COOH; (2) $-(C{=}O)OR^8$; (3) $-(C{=}O)NR^9R^9$; (4) $-SO_2NHR^{10}$; (5) $-SO_2OR^{11}$; (6) $-PO_3R^{11}R^{11}$; (7) a tetrazol–5–yl group; (8) $-CONH-SO_2R^{12}$; and, (9) –M–Het.





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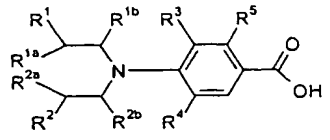

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